

## Author Search

=> FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 12:58:28 ON 07 JUN 2008

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FILE COVERS 1907 - 7 Jun 2008 VOL 148 ISS 24

FILE LAST UPDATED: 6 Jun 2008 (20080606/ED)

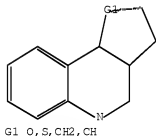
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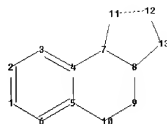
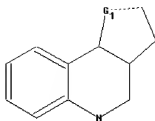
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=> D QUE L25

L6 STR



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ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-10  7-8  7-11  8-9  8-13  9-10  11-12  12-13

exact/norm bonds :
4-7  5-10  7-8  7-11  8-9  8-13  9-10  11-12  12-13
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
  
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G1:O,S,CH2,CH

Match level :

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11:Atom 12:Atom 13:Atom

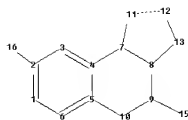
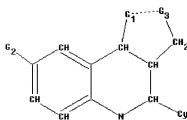
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L11 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation:

Uploading strC.str



chain nodes :  
 15 16  
 ring nodes :  
 1 2 3 4 5 6 7 8 9 10 11 12 13  
 chain bonds :  
 2-16 9-15

ring bonds :  
 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :  
 2-16 4-7 5-10 7-8 7-11 8-9 8-13 9-10 9-15 11-12 12-13

normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S,CH2,CH

G2:S,N

G3:CH2,CH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 15:Atom 16:CLASS

L13 2767 SEA FILE=REGISTRY SUB=L8 SSS FUL L11  
 L15 14 SEA FILE=HCAPLUS ABB=ON PLU=ON L13  
 L16 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND (PRY<=2004 OR  
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 L17 1519 SEA FILE=HCAPLUS ABB=ON PLU=ON BECKER C?/AU  
 L18 88 SEA FILE=HCAPLUS ABB=ON PLU=ON COMSTOCK J?/AU  
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 L24 5636 SEA FILE=HCAPLUS ABB=ON PLU=ON (L17 OR L18 OR L19 OR L20 OR  
 L21 OR L22 OR L23)  
 L25 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L24 AND L16

=> D IBIB ED ABS L25 HITSTR 1

L25 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:995974 HCAPLUS Full-text  
 DOCUMENT NUMBER: 141:424118  
 TITLE: A preparation of cyclopenta[c]quinoline derivatives,  
 useful as positive modulators of nicotinic  
 acetylcholine receptors  
 INVENTOR(S): Becker, Christopher; Comstock,  
 Jeanne; Michne, William F.;  
 Murphy, Megan; Phillips, Eifion;  
 Rosamond, James D.; Simpson, Thomas R.  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

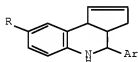
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098600	A1	20041118	WO 2004-GB1934	20040504 <--

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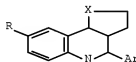
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AU 2004237130	A1	20041118	AU 2004-237130	20040504 <--
CA 2524019	A1	20041118	CA 2004-2524019	20040504 <--
EP 1631288	A1	20060308	EP 2004-731052	20040504 <--
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CN 1784230	A	20060607	CN 2004-80012314	20040504 <--
JP 2006525302	T	20061109	JP 2006-506220	20040504 <--
MX 2005PA11785	A	20060126	MX 2005-PA11785	20051101 <--
NO 2005005766	A	20051205	NO 2005-5766	20051205 <--
US 20070179172	A1	20070802	US 2006-553915	20060713 <--
PRIORITY APPLN. INFO.:			SE 2003-1320	A 20030506 <--
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OTHER SOURCE(S): MARPAT 141:424118  
 ED Entered STN: 19 Nov 2004  
 GI



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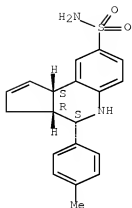
II

AB The invention relates to a preparation of cyclopenta[c]quinoline derivs. of formulas I and II [wherein: X is O, S, or CH<sub>2</sub>; R1 is OH, NH<sub>2</sub>, N(alkyl)<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, or C(O)N(alkyl)<sub>2</sub>, etc.; Ar is furyl, pyridyl, thienyl, Ph, or naphthyl, etc.], useful as pos. modulators of nicotinic acetylcholine receptors. For instance, cyclopenta[c]quinoline derivative I (Ar is 1-naphthyl; R = SO<sub>2</sub>NH<sub>2</sub>) was prepared from 1-naphthalenecarboxaldehyde, cyclopentadiene, and 4-aminobenzenesulfonamide with a yield of 69%. The invention compds. were screened for biol. activity in the following tests: a) Xenopus oocyte current recording, and b) Ca<sup>++</sup> flux imaging [the invention compds. cause 100% potentiation (2-fold increase) of baseline current].

IT 794586-91-7P 794586-92-6P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of cyclopenta[c]quinoline derivs. useful as pos. modulators of nicotinic acetylcholine receptors)

RN 794586-91-7 HCAPLUS  
 CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(4-methylphenyl)-, (3aR,4S,9bS)- (CA INDEX NAME)

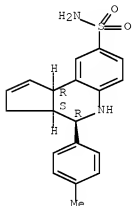
Absolute stereochemistry. Rotation (+).



RN 794586-92-8 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(4-methylphenyl)-, (3aS,4R,9bR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



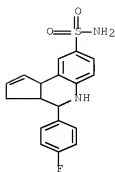
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 794586-84-8P 794586-85-9P 794586-87-1P  
 794586-88-2P 794586-89-3P 794586-90-6P  
 794586-93-9P 794586-94-0P 794586-95-1P  
 794586-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopenta[c]quinoline derivs. useful as pos. modulators of nicotinic acetylcholine receptors)

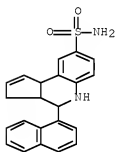
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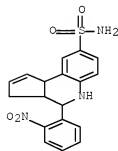
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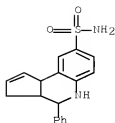
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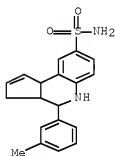
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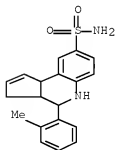
RN 794586-75-7 HCAPLUS

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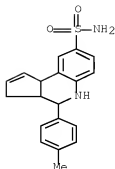
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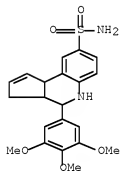
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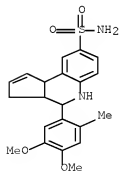
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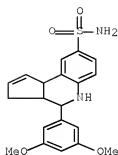
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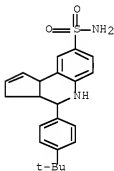
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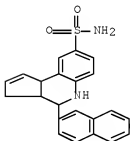
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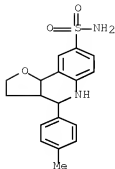
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RN 794586-88-2 HCAPLUS

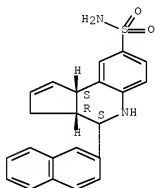
CN Furo[3,2-c]quinoline-8-sulfonamide, 2,3,3a,4,5,9b-hexahydro-4-(4-methylphenyl)- (CA INDEX NAME)



RN 794586-89-3 HCAPLUS

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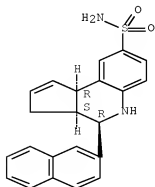
Absolute stereochemistry.



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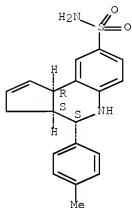
Absolute stereochemistry.



RN 794586-93-9 HCAPLUS

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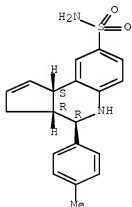
Absolute stereochemistry.



RN 794586-94-0 HCAPLUS

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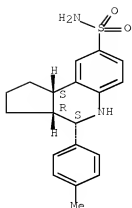
Absolute stereochemistry.



RN 794586-95-1 HCAPLUS

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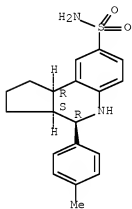
Absolute stereochemistry.



RN 794586-96-2 HCAPLUS

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Absolute stereochemistry.



REFERENCE COUNT:

25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 15 of 42

L38

7 L16 NOT L25

&gt;=&gt; FILE WPIX

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MOST RECENT THOMSON SCIENTIFIC UPDATE: 200835 &lt;200835/DW&gt;

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ECLA reclassifications to April and US national classifications to the end of January 2008 have also been loaded. Update dates 20080401/UPEC and /UPNC have been assigned to these. <<<

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&gt;&gt;&gt; HELP for European Patent Classifications see HELP ECLA, HELP ICO &lt;&lt;&lt;

&gt;&gt;&gt; Updated PDF files in the following links:

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[http://www.stn-international.de/stndatabases/details/ecla\\_0805s.zip](http://www.stn-international.de/stndatabases/details/ecla_0805s.zip) <<<

&gt;&gt;&gt; Please note that the COPYRIGHT notification has changed &lt;&lt;&lt;

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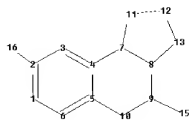
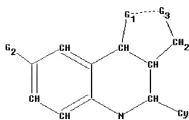
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L30 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation:

Uploading strd.str



chain nodes :



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15 16 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
2-16 9-15 19-20 21-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact/norm bonds :
2-16 4-7 5-10 7-8 7-11 8-9 8-13 9-10 9-15 11-12 12-13 19-20 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

```

G1:O,S,CH2,CH

G2:[\*1],[\*2]

G3:CH2,CH

Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:Atom 16:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS

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L33          0 SEA FILE=WPIX ABB=ON PLU=ON L32 AND (PRY<=2004 OR AY<=2004
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```

=> FILE BEILSTEIN  
 FILE 'BEILSTEIN' ENTERED AT 12:59:53 ON 07 JUN 2008  
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FILE LAST UPDATED ON April 1, 2008

FILE COVERS 1771 TO 2008.

\*\*\* FILE CONTAINS 10.322,808 SUBSTANCES \*\*\*

>>>PLEASE NOTE: Reaction Data and substance data are stored in  
 separate documents and can not be searched together in one query.  
 Reaction data for BEILSTEIN compounds may be displayed  
 immediately with the display codes PRE (preparations) and REA  
 (reactions). A substance answer set retrieved after the search  
 for a chemical name, a compounds with available reaction  
 information by combining with PRE/FA, REA/FA or more generally  
 with RX/FA. The BEILSTEIN Registry Number (BRN) is the link  
 between a BEILSTEIN compound and belonging reactions. For mo  
 detailed reaction searches BRNs can be searched as reaction  
 partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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 \* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. \*  
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>>> Price change as of January 1st, 2008: Connect Time and Structure  
 Search fees re-introduced. See NEWS and HELP COST <<<

=> D QUE L35

L30 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.  
 L35 0 SEA FILE=BEILSTEIN SSS FUL L30

=> FILE MARPAT

FILE 'MARPAT' ENTERED AT 13:00:05 ON 07 JUN 2008  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE CONTENT: 1961-PRESENT VOL 148 ISS 21 (20080530/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	20080090937	17	APR	2008
DE	102006048130	10	APR	2008
EP	1909102	09	APR	2008
JP	2008098097	24	APR	2008
WO	2008046285	24	APR	2008
GB	2441892	19	MAR	2008
FR	2907005	18	APR	2008
RU	2322475	20	APR	2008
CA	2562661	05	APR	2008

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT have increased from 100,000 to 200,000 for both on-line and batch searches. For more information on MARPAT search limits, type HELP SLIMITS at an arrow prompt.

=> D QUE L37

L30 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.  
L37 4 SEA FILE=MARPAT SSS FUL L30

=> DUP REM L38 L33 L35 L37

L33 HAS NO ANSWERS

L35 HAS NO ANSWERS

DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

FILE 'HCAPLUS' ENTERED AT 13:00:21 ON 07 JUN 2008

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FILE 'MARPAT' ENTERED AT 13:00:21 ON 07 JUN 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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PROCESSING COMPLETED FOR L38

PROCESSING COMPLETED FOR L33

PROCESSING COMPLETED FOR L35

PROCESSING COMPLETED FOR L37

L39 11 DUP REM L38 L33 L35 L37 (0 DUPLICATES REMOVED)

ANSWERS '1-7' FROM FILE HCAPLUS

ANSWERS '8-11' FROM FILE MARPAT

=&gt; D IBIB ED ABS HITSTR L39 1-7; D IBIB AB QHIT L39 8-11

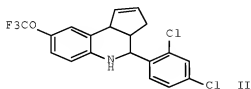
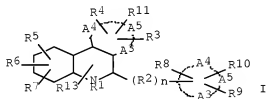
L39 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:696357 HCAPLUS Full-text  
 DOCUMENT NUMBER: 141:243351  
 TITLE: Preparation of tetrahydroquinolines as nuclear  
 receptors modulators  
 INVENTOR(S): Koutnikova, Hana; Sierra, Michael; Braun-Egles, Anne;  
 Marsol, Claire; Klotz, Evelyne; Lehmann, Juergen  
 PATENT ASSIGNEE(S): Carex S.A., Fr.  
 SOURCE: PCT Int. Appl., 166 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072046	A2	20040826	WO 2004-EP1280	20040211 <--
WO 2004072046	A3	20041021		

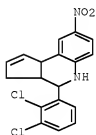
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,  
 GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	EP 2003-360025	A	20030212 <--
	EP 2003-360029	A	20030212 <--
	US 2003-456955P	P	20030325 <--
	EP 2003-360083	A	20030704 <--

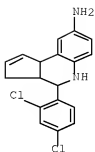
OTHER SOURCE(S): MARPAT 141:243351  
 ED Entered STN: 26 Aug 2004  
 GI



- AB Title compds. represented by the formula I [wherein R1 = H, Cl, F, (cyclo)alkyl, alkylcycloalkyl, CF3, etc.; R2, R14 = independently CH2, (CH2)A1(CH2) or (CH2)A1(CH2)A2(CH2); a, b, c = independently 0-4; A1, A2 = independently CO, O, SO2, etc.; R3-R4, R8-R11 = independently H, amino, alkyl, halo, etc.; R12 = H, Cl, CF3, (cyclyl)alkyl, etc.; R13 = H, hydroxy, alkyl, carboxylic acid, etc.; R5-R7 = independently (R14)-R12; n = 0-6; A3-A5 = independently C, N, O, S; and analogs, derivs., solvates or salts thereof] were prepared as liver-receptors (LXR) modulators. For example, reaction of 4-trifluoromethoxyphenylamine with 2,4-dichlorobenzaldehyde and cyclopentadiene gave II in 70% yield. II was tested for dose response induction of ABCA1, FAS, SREBP1c and Angt13 gene expression, HDL cholesterol plasma and liver triglyceride levels change. In addition, I were tested for binding activity with human LXRA and LXRβ (Ki = 1000-3000 nM), activation of gene implicated in cholesterol efflux, etc. Thus, I and their pharmaceutical compns. are useful for the prevention or treatment of hyperlipidemia, obesity, type II diabetes, atherosclerosis, ischemic heart disease, peripheral vascular disease, cerebral vascular disease, hypercholesterolemia, hypertriglyceridemia, pancreatitis or coronary artery disease.
- IT 353484-19-2P 471916-92-4P, CRX 000765  
746661-74-5P, CRX 000794 746662-36-2P, CRX 001018  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of tetrahydroquinolines as nuclear receptor modulators)
- RN 353484-19-2 HCAPLUS
- CN 3H-Cyclopenta[c]quinoline, 4-(2,3-dichlorophenyl)-3a,4,5,9b-tetrahydro-8-nitro- (CA INDEX NAME)

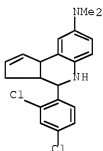


- RN 471916-92-4 HCAPLUS
- CN 3H-Cyclopenta[c]quinolin-8-amine, 4-(2,4-dichlorophenyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



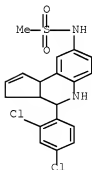
RN 746661-74-5 HCAPLUS

CN 3H-Cyclopenta[c]quinolin-8-amine, 4-(2,4-dichlorophenyl)-3a,4,5,9b-tetrahydro-N,N-dimethyl- (CA INDEX NAME)



RN 746662-36-2 HCAPLUS

CN Methanesulfonamide, N-[4-(2,4-dichlorophenyl)-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-8-yl]- (CA INDEX NAME)

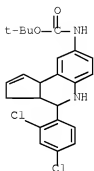


IT 745788-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of tetrahydroquinolines as nuclear receptor modulators)

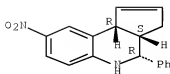
RN 745788-80-1 HCAPLUS

CN Carbamic acid, [4-(2,4-dichlorophenyl)-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinolin-8-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L39 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:127450 HCAPLUS Full-text  
 DOCUMENT NUMBER: 136:386008  
 TITLE: Urea nitrate catalyzed imino Diels-Alder reactions: synthesis of cyclopentaquinolines, pyranoquinolines, and furoquinoline derivatives  
 AUTHOR(S): Anniyappan, Marimuthu; Nagarajan, Rajagopal; Perumal, Paramasivan T.  
 CORPORATE SOURCE: Organic Chemistry Division, Central Leather Research Institute, Chennai, 600 020, India  
 SOURCE: Synthetic Communications (2002), 32(1), 99-103  
 CODEN: SYNCAV; ISSN: 0039-7911  
 PUBLISHER: Marcel Dekker, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:386008  
 ED Entered STN: 19 Feb 2002  
 AB Urea nitrate is an efficient catalyst for the imino Diels-Alder reaction of aldimines with cyclopentadiene, 3,4-dihydropyran and dihydrofuran that is reported for the first time. One pot synthesis of cyclopentaquinolines from benzaldehyde, aromatic amines with cyclopentadiene catalyzed by urea nitrate is also reported.  
 IT 122059-89-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of quinoline derivs. by imino Diels-Alder reactions using urea nitrate catalyst)  
 RN 122059-89-6 HCAPLUS  
 CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:503697 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 135:228480

TITLE: Clay/water mixtures - a heterogeneous and ecologically efficient catalyst for the three-component stereoselective synthesis of tetrahydroquinolines  
Sartori, Giovanni; Bigi, Franca; Maggi, Raimondo; Mazzacani, Alessandro; Oppici, Giovanni

CORPORATE SOURCE: Dipartimento di Chimica Organica e Industriale dell'Universita, Parma, 43100, Italy

SOURCE: European Journal of Organic Chemistry (2001), (13), 2513-2518

CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 Jul 2001

AB The three-component synthesis of tetrahydroquinolines from aromatic amines, aromatic aldehydes, and cyclopentadiene was efficiently performed in water in the presence of com. bentonite Bieliaca. The overall process involves the rapid initial production of corresponding imines, which subsequently undergo aza-cycloaddn. processes with cyclopentadiene, affording products in good yield and with excellent selectivity. The cycloaddn. step is regioselective and stereospecific, exclusively giving the endo product. It was possible to reuse the catalyst several times without lowering its efficiency. The process represents a clean and environmentally friendly route for the production of a class of natural products displaying a wide range of biol. activity.

IT 122059-89-6P

RL: IMF (Industrial manufacture); PREP (Preparation)

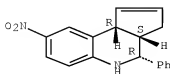
(clean and efficient bentonite Bieliaca catalyst in stereoselective aza-cycloaddn. of amines and aldehydes and cyclopentadiene in preparation

of tetrahydroquinolines)

RN 122059-89-6 HCAPLUS

CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.

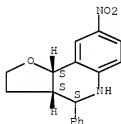




REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

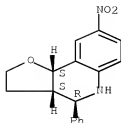
L39 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:479420 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 131:257455  
 TITLE: Lanthanide Chloride Catalyzed Imino Diels-Alder Reaction. One-Pot Synthesis of Pyrano[3,2-c]- and Furo[3,2-c]quinolines  
 AUTHOR(S): Ma, Yun; Qian, Changtao; Xie, Meihua; Sun, Jie  
 CORPORATE SOURCE: Laboratory of Organometallic Chemistry Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China  
 SOURCE: Journal of Organic Chemistry (1999), 64(17), 6462-6467  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 131:257455  
 ED Entered STN: 04 Aug 1999  
 AB GdCl<sub>3</sub> is an effective catalyst both in the reaction of imines with dihydropyran or dihydrofuran and in the one-pot reaction of anilines with aldehydes and dihydropyran or dihydrofuran, giving pyrano- and furo[3,2-c]quinolines in high yields under mild conditions.  
 IT 244775-73-3P 244775-74-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (gadolinium chloride-catalyzed Diels-Alder reaction of anilines with aldehydes and dihydropyran or dihydrofuran)  
 RN 244775-73-3 HCAPLUS  
 CN Furo[3,2-c]quinoline, 2,3,3a,4,5,9b-hexahydro-8-nitro-4-phenyl-, (3aR,4R,9bR)-rel- (CA INDEX NAME)

Relative stereochemistry.

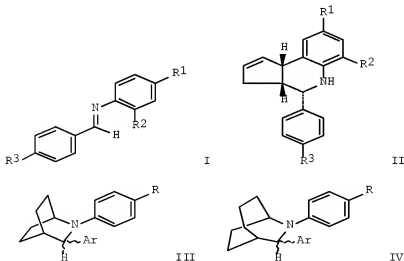


RN 244775-74-4 HCAPLUS  
 CN Furo[3,2-c]quinoline, 2,3,3a,4,5,9b-hexahydro-8-nitro-4-phenyl-, (3aR,4S,9bR)-rel- (CA INDEX NAME)

Relative stereochemistry.



L39 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:104075 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 128:180323  
 TITLE: Indium trichloride (InCl<sub>3</sub>) catalyzed imino Diels-Alder reactions: an efficient synthesis of cyclopentaquinolines, azabicyclooctanones and azabicyclononanes  
 AUTHOR(S): Babu, Govindarajulu; Perumal, Paramasivan T.  
 CORPORATE SOURCE: Organic Chemistry Division, Central Leather Research Institute, Adyar, Chennai, 600 020, India  
 SOURCE: Tetrahedron (1998), 54(8), 1627-1638  
 CODEN: TETRAB; ISSN: 0040-4020  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 21 Feb 1998  
 GI



AB Anhydrous indium trichloride (InCl<sub>3</sub>) is found to catalyze the imino Diels-Alder reactions of Schiff's bases I (R<sub>1</sub> = H, NO<sub>2</sub>, OMe, Cl, R<sub>2</sub> = H, Me, CO<sub>2</sub>H,

Et, NO<sub>2</sub>, R<sub>3</sub> = H, Me, Cl) with cyclopentadiene, cyclohexen-2-one and cyclohepten-2-one which resulted in facile synthesis of cyclopentaquinolines II, azabicyclooctanones III, and previously unreported series of azabicyclononanones IV.

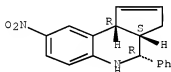
IT 122659-89-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of cyclopentaquinolines, azabicyclooctanones, and azabicyclononanones by indium trichloride-catalyzed Diels-Alder reactions)

RN 122059-89-6 HCAPLUS

CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 1997:468534 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 127:161687

TITLE: Imino Diels-Alder reactions catalyzed by indium trichloride (InCl<sub>3</sub>). Facile synthesis of quinoline and phenanthridinone derivatives

AUTHOR(S): Babu, Govindarajulu; Perumal, Paramasivan T.

CORPORATE SOURCE: Organic Chemistry Division, Central Leather Research Institute, Chennai, 600 020, India

SOURCE: Tetrahedron Letters (1997), 38(28), 5025-5026

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

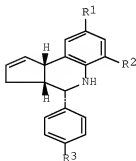
DOCUMENT TYPE: Journal

LANGUAGE: English

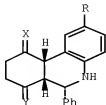
OTHER SOURCE(S): CASREACT 127:161687

ED Entered STN: 26 Jul 1997

GI



I



II

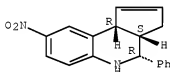
AB Anhydrous indium trichloride (InCl<sub>3</sub>) catalyzes the imino Diels-Alder reaction and results in facile synthesis of quinoline derivs. I (R<sub>1</sub> = H, NO<sub>2</sub>, OMe, Cl, R<sub>2</sub> = H, Me, CO<sub>2</sub>H, Et, NO<sub>2</sub>, R<sub>3</sub> = H, Me, Cl). A previously unreported series of phenanthridinones II (R = H, NO<sub>2</sub>, OMe, Cl, X = O, Y = H<sub>2</sub>; X = H<sub>2</sub>, Y = O) was obtained by the treatment of cyclohexenone with Schiff bases.

IT 122059-89-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (InCl<sub>3</sub>-catalyzed Diels-Alder reaction of Schiff bases with cyclohexenone or cyclopentadiene)

RN 122059-89-6 HCAPLUS

CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:497058 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 111:97058

ORIGINAL REFERENCE NO.: 111:16321a,16324a

TITLE: Role reversal in the cyclocondensation of cyclopentadiene with heterodienophiles derived from arylamines and aldehydes: synthesis of novel tetrahydroquinolines

AUTHOR(S): Grieco, Paul A.; Bahsas, Ali

CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, 47405, USA

SOURCE: Tetrahedron Letters (1988), 29(46), 5855-8

CODEN: TELEAY; ISSN: 0040-4039

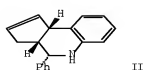
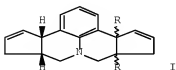
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:97058

ED Entered STN: 16 Sep 1989

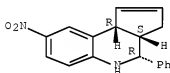
GI



AB Immonium ions derived from arylamines and aldehydes function not as heterodienophiles but rather as heterodienes in the presence of cyclopentadiene, giving rise to novel tetrahydroquinolines. Thus,  $\text{PhNH}^+:\text{CH}_2\text{CF}_3\text{CO}_2^-$ , prepared in situ from  $\text{PhNH}_2$ ,  $\text{CF}_3\text{CO}_2\text{H}$ , and  $\text{HCHO}$ , reacted with cyclopentadiene to give a mixture of pentacyclic quinolizidine derivs. I ( $\text{R} = \alpha\text{-H}, \beta\text{-H}$ ). The structures of I ( $\text{R} = \alpha\text{-H}$ ) and of tetrahydroquinoline derivative II, prepared from  $\text{PhCH:NPh}$ ,  $\text{CF}_3\text{CO}_2\text{H}$ , and cyclopentadiene, were determined by x-ray crystallog.

IT 122059-89-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 122059-89-6 HCAPLUS  
 CN 3H-Cyclopenta[c]quinoline, 3a,4,5,9b-tetrahydro-8-nitro-4-phenyl-,  
 (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



L39 ANSWER 8 OF 11 MARPAT COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 142:261406 MARPAT Full-text  
 TITLE: Preparation of tetrahydroquinoline derivatives as  
 hepatocyte nuclear factor 4 modulators  
 INVENTOR(S): Michellys, Pierre; Chen, Jyun-hung; Meyer, Hoyt;  
 Karanewsky, Donald  
 PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016255	A2	20050224	WO 2004-US23093	20040716
WO 2005016255	A3	20050616		

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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
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SN, TD, TG

PRIORITY APPLN. INFO.:

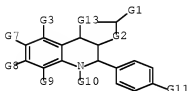
US 2003-488071P 20030716

OTHER SOURCE(S):

CASREACT 142:261406

AB Title compds. represented by the formula I [wherein R1 = H, halo, (fluoro)methyl; R2-R5 = independently H, halo, (sulfon)amide, etc.; R6 = H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl; R7 = CH2OH, CHO, CO2H or C(R8)(R9)CO2H; R8, R9 = independently H, OH, (fluoro)methyl; and pharmaceutically acceptable salts, esters, amides or prodrugs thereof] were prepared as hepatocyte nuclear factor 4a (HNF-4a) receptor modulators. For example, condensation of aniline with Me 4-formylbenzoate, followed by reaction with 3,4-dihydro-2H-pyran and hydrolysis, gave II. Selected I were tested for HNF-4a binding activity, agonistic activity and antagonistic activity. Thus, I and their pharmaceutical compns. are useful as HNF-4a receptor modulators for the treatment of syndrome X, noninsulin dependent diabetes mellitus, cancer, obesity, cardiovascular disease and dyslipidemia (no data).

MSTR 1



G2 = (1-3) 21



G7 = 58



G13 = O

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts, esters, amides or prodrugs

L39 ANSWER 9 OF 11 MARPAT COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER:

141:424118 MARPAT [Full-text](#)

TITLE:

A preparation of cyclopenta[c]quinoline derivatives, useful as positive modulators of nicotinic acetylcholine receptors

INVENTOR(S):

Becker, Christopher; Comstock, Jeanne; Michne, William F.; Murphy, Megan; Phillips, Eifion; Rosamond, James D.; Simpson, Thomas R.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098600	A1	20041118	WO 2004-GB1934	20040504
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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CA 2524019	A1	20041118	CA 2004-2524019	20040504
EP 1631288	A1	20060308	EP 2004-731052	20040504
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR			
BR 2004010050	A	20060425	BR 2004-10050	20040504
CN 1784230	A	20060607	CN 2004-80012314	20040504
JP 2006525302	T	20061109	JP 2006-506220	20040504
MX 2005PA11785	A	20060126	MX 2005-PA11785	20051101
NO 2005005766	A	20051205	NO 2005-5766	20051205
US 20070179172	A1	20070802	US 2006-553915	20060713
PRIORITY APPLN. INFO.:			SE 2003-1320	20030506
			WO 2004-GB1934	20040504

AB The invention relates to a preparation of cyclopenta[c]quinoline derivs. of formulas I and II [wherein: X is O, S, or CH<sub>2</sub>; R<sub>1</sub> is OH, NH<sub>2</sub>, N(alkyl)<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, or C(O)N(alkyl)<sub>2</sub>, etc.; Ar is furyl, pyridyl, thienyl, Ph, or naphthyl, etc.], useful as pos. modulators of nicotinic acetylcholine receptors. For instance, cyclopenta[c]quinoline derivative I (Ar is 1-naphthyl; R = SO<sub>2</sub>NH<sub>2</sub>) was prepared from 1-naphthalenecarboxaldehyde, cyclopentadiene, and 4-aminobenzenesulfonamide with a yield of 69%. The invention compds. were screened for biol. activity in the following tests: a) *Xenopus* oocyte current recording, and b) Ca<sup>++</sup> flux imaging [the invention compds. cause 100% potentiation (2-fold increase) of baseline current].

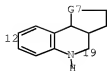
MSTP 1

2<sup>9</sup>1—G<sup>9</sup>—2<sup>8</sup>8

G1 = 38

3<sup>8</sup>4—SO<sub>2</sub>—G2

G4 = NH  
 G7 = O  
 G8 = furyl (opt. substd. by (1-3) G3)  
 G9 = 12-29 19-28



Patent location: claim 1  
 Note: or pharmaceutically acceptable salts  
 Stereochemistry: or diastereoisomers, enantiomers

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 10 OF 11 MARPAT COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 140:253456 MARPAT [Full-text](#)  
 TITLE: Preparation of 1,2,3,4-tetrahydro-4-phenylquinolines and related compounds as sodium channel ligands for the treatment of pain  
 INVENTOR(S): Hennies, Hagen-Heinrich; Maul, Corinna; Przewosny, Michael; Sundermann, Bernd  
 PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany  
 SOURCE: Ger. Offen., 55 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

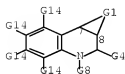
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10236910	A1	20040311	DE 2002-10236910	20020812
WO 2004022542	A2	20040318	WO 2003-EP8889	20030811
WO 2004022542	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003258598	A1	20040329	AU 2003-258598	20030811
PRIORITY APPLN. INFO.:			DE 2002-10236910	20020812
			WO 2003-EP8889	20030811

AB Title compds. I [Rb and R2 together = (CH<sub>2</sub>)<sub>n</sub>, CH=CHCH<sub>2</sub>, CH<sub>2</sub>CH=CH, etc.; n = 3-10; Ra = H; R3 = H, alkyl, cycloalkyl, etc.; R4 = R4a, ZR4a; R4a = H, alkyl, alkenyl, etc.; Z = alkyl, alkenyl, alkynyl, etc.; R5, R6, R7, R8 = H, halo, CN, etc.] and their pharmaceutically acceptable salts were prepared in sodium



channel [3H]batrachotoxin (BTX) displacement assays, 261-examples of compds. I exhibited 00.0-91.7% binding, e.g., the affinity of tetrahydroquinoline was 91.7%.

WSTR 1A



G1 = 30-7 32-8



G4 = Ph (opt. substd.)

G14 = 166



G22 = 150



Patent location:

Note:

Note: oxygen in G18 and G20 is free radical

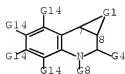
Note: additional ring formation also claimed

Note: and/or salts with physiologically acceptable acids

Note: substitution is restricted

Stereochemistry: and racemates, enantiomers, diastereomers or mixtures

WSTR 1C



G1 = 30-7 32-8



G4 = anthracenyl

G14 = 166



G22 = 150



Patent location: claim 1  
 Note: oxygen in G18 and G20 is free radical  
 Note: additional ring formation also claimed  
 Note: and/or salts with physiologically acceptable acids  
 Note: substitution is restricted  
 Stereochemistry: and racemates, enantiomers, diastereomers or mixtures

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 11 OF 11 MARPAT COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 132:64182 MARPAT Full-text  
 TITLE: Preparation of di- and tetrahydroquinolinylindoles and related compounds as antibacterials.  
 INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Rossi, Richard F.; Xie, Roger Leijie  
 PATENT ASSIGNEE(S): Sepracor, Inc., USA  
 SOURCE: PCT Int. Appl., 130 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967238	A2	19991229	WO 1999-US14277	19990625
WO 9967238	A3	20030417		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,

Serial No.:10/553,915

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 TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD,  
 RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT,  
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AU 9945835 A 20000110 AU 1999-45835 19990625

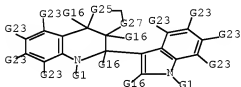
US 6180640 B1 20010130 US 1999-344619 19990625

PRIORITY APPLN. INFO.: US 1998-90624P 19980625

WO 1999-US14277 19990625

AB Title compds. [I; A, B = atoms to form (substituted) mono- or polycyclic cycloalkyl, cycloalkenyl, aryl, heteroaryl, heterocyclyl; X, Y = CR<sub>2</sub>, NR, O, PR, S, AsR, Se; R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>31</sub>, R<sub>4</sub>, R<sub>41</sub> = H, halo, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, amino, NO<sub>2</sub>, SH, alkylthio, amide, phosphonate, acetal, aryl, heteroaryl, N<sub>3</sub>, carbamate, hydroxamate, sulfonamide, thiocarbamate, guanidino, amidino, etc.; R<sub>5</sub>, R<sub>6</sub> = halo, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, amino, SH, alkylthio, imine, amide, phosphoryl, phosphonate, carbonyl, CO<sub>2</sub>H, carboxamide, ketone, aldehyde, cyano, carbamate, etc.], were prepared. Thus, 4-(3-piperidinyl)propargylaniline (preparation given), N-Teoc-5-bromoindole-3- carboxaldehyde, and cat. TsOH were refluxed in C<sub>6</sub>H<sub>6</sub> to give a residue which was stirred with 2,3-dihydrofuran and ytterbium triflate in MeCN to give 45% 8-[3-(N-piperidinyl)propargyl]-2,3,3a,4,5,9b-hexahydro-4-(5-bromo-3-cis,trans-N-Teoc-indolyl)furo[2,3-c]quinoline. This was stirred with TBAF in THF followed by chromatog. to give 78% 45% 8-[3-(N-piperidinyl)propargyl]-2,3,3a,4,5,9b-hexahydro-4-(5-bromo-3-cis-indolyl)furo[2,3-c]quinoline. The latter at 2% in pig wounds inoculated with staphylococcus aureus showed log CFU/mL = 5.92 after 24 h, vs. 6.54 for untreated controls.

FIG. 2



G17 = NH (opt. substd.)

G18 = 67



G23 = 132



G25 = O

G27 = (1-3) CH2

Patent location: claim 19

=> => FILE HCAPLUS  
 FILE 'HCAPLUS' ENTERED AT 13:13:53 ON 07 JUN 2008  
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FILE COVERS 1907 - 7 Jun 2008 VOL 148 ISS 24  
 FILE LAST UPDATED: 6 Jun 2008 (20080606/ED)

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'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D QUE L40

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L40 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2004:995974 HCAPLUS Full-text

DOCUMENT NUMBER: 141:424118

TITLE: A preparation of cyclopenta[c]quinoline derivatives, useful as positive modulators of nicotinic acetylcholine receptors

INVENTOR(S): Becker, Christopher; Comstock, Jeanne; Michne, William F.; Murphy, Megan; Phillips, Eifion; Rosamond, James D.; Simpson, Thomas R.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

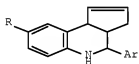
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Serial No.:10/553,915

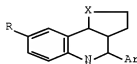
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 SN, TD, TG

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CA 2524019	A1	20041118	CA 2004-2524019	20040504
EP 1631288	A1	20060308	EP 2004-731052	20040504
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BR 2004010050	A	20060425	BR 2004-10050	20040504
CN 1784230	A	20060607	CN 2004-80012314	20040504
JP 2006525302	T	20061109	JP 2006-506220	20040504
MX 2005PA11785	A	20060126	MX 2005-PA11785	20051101
NO 2005005766	A	20051205	NO 2005-5766	20051205
US 20070179172	A1	20070802	US 2006-553915	20060713
PRIORITY APPLN. INFO.:			SE 2003-1320	A 20030506
			WO 2004-GB1934	W 20040504

OTHER SOURCE(S): MARPAT 141:424118  
 ED Entered STN: 19 Nov 2004  
 GI



I



II

AB The invention relates to a preparation of cyclopenta[c]quinoline derivs. of formulas I and II [wherein: X is O, S, or CH<sub>2</sub>; R1 is OH, NH<sub>2</sub>, N(alkyl)<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, or C(O)N(alkyl)<sub>2</sub>, etc.; Ar is furyl, pyridyl, thienyl, Ph, or naphthyl, etc.], useful as pos. modulators of nicotinic acetylcholine receptors. For instance, cyclopenta[c]quinoline derivative I (Ar is 1-naphthyl; R = SO<sub>2</sub>NH<sub>2</sub>) was prepared from 1-naphthalenecarboxaldehyde, cyclopentadiene, and 4-aminobenzenesulfonamide with a yield of 69%. The invention compds. were screened for biol. activity in the following tests: a) Xenopus oocyte current recording, and b) Ca<sup>++</sup> flux imaging [the invention compds. cause 100% potentiation (2-fold increase) of baseline current].

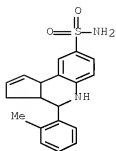
IT 794586-79-1F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopenta[c]quinoline derivs. useful as pos. modulators of nicotinic acetylcholine receptors)

RN 794586-79-1 HCAPLUS

CN 3H-Cyclopenta[c]quinoline-8-sulfonamide, 3a,4,5,9b-tetrahydro-4-(2-methylphenyl)- (CA INDEX NAME)



REFERENCE COUNT:

25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## Search History

L1 1 SEA ABB=ON PLU=ON US2006-553915/APPS

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L7 31 SEA SSS SAM L6

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L11 STRUCTURE UPLOADED

L12 50 SEA SUB=L8 SSS SAM L11

L13 2767 SEA SUB=L8 SSS FUL L11

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L19 59 SEA ABB=ON PLU=ON MICHNE W?/AU

L20 2618 SEA ABB=ON PLU=ON MURPHY M?/AU

L21 636 SEA ABB=ON PLU=ON PHILLIPS E?/AU

L22 61 SEA ABB=ON PLU=ON ROSAMOND J?/AU

L23 671 SEA ABB=ON PLU=ON SIMPSON T?/AU

L24 5636 SEA ABB=ON PLU=ON (L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23)

L25 1 SEA ABB=ON PLU=ON L24 AND L16

FILE 'WPIX' ENTERED AT 12:40:45 ON 07 JUN 2008

L26 6 SEA SSS SAM L11

L27 65 SEA SSS FUL L11

L28 127 SEA ABB=ON PLU=ON L27/DCR

L29 82 SEA ABB=ON PLU=ON L28 AND (PRY<=2004 OR AY<=2004 OR PY<=2004)

L30 STRUCTURE UPLOADED

L31 4 SEA SSS SAM L30

L32 26 SEA SSS FUL L30

L33 0 SEA ABB=ON PLU=ON L32 AND (PRY<=2004 OR AY<=2004 OR PY<=2004)



FILE 'BEILSTEIN' ENTERED AT 12:56:08 ON 07 JUN 2008  
L34 0 SEA SSS SAM L30  
L35 0 SEA SSS FUL L30  
  
FILE 'MARPAT' ENTERED AT 12:56:50 ON 07 JUN 2008  
L36 0 SEA SSS SAM L30  
L37 4 SEA SSS FUL L30  
  
FILE 'HCAPLUS' ENTERED AT 12:59:11 ON 07 JUN 2008  
L38 7 SEA ABB=ON PLU=ON L16 NOT L25  
  
FILE 'HCAPLUS, MARPAT' ENTERED AT 13:00:21 ON 07 JUN 2008  
L39 11 DUP REM L38 L33 L35 L37 (0 DUPLICATES REMOVED)  
  
FILE 'HCAPLUS' ENTERED AT 13:13:22 ON 07 JUN 2008  
L40 1 SEA ABB=ON PLU=ON L5